

II. REMARKS

Before the amendments made herein, claims 1 to 34 were pending. Claims 1 to 15 have been canceled herein without prejudice. In addition, claims 35 to 41 have been added herein. Accordingly, after the amendments made herein are entered, claims 16 to 41 will be pending.

A. Regarding the amendments.

Claims 16, 17 and 22 have each been amended to exclude amino and carboxamide moieties, including the formulas $-C(O)NR^{11}R^{12}$ and $-NR^{11}R^{12}$, at the R^4 position. The amendment is supported in the specification, for example, at page 6, line 1 to page 7, line 7, which discloses the recited R^4 moieties of the amended claims; and by Examples 1 to 6, at pages 74 to 84, which disclose thousands of specific compounds within the scope of the amended claim.

New claim 35 limits R^4 to specific moieties. The new claim is supported in the specification, for example, at page 6, line 1-16, which discloses these specific moieties for the R^4 position.

New claim 36 is similar to claim 16, except the new claim excludes hydrogen and halo at the R^3 position. Dependent new claim 37 further excludes alkyl at the R^3 position. The amendments are supported in the specification, for example, at page 6, line 1 to page 7, line 7, which discloses the recited R^3 moieties of the amended claims; and by Examples 1 to 6, at pages

Response to Office Action
Ser. No. 09/401,004
Page 33

74 to 84, which disclose thousands of specific compounds within the scope of the new claim.

New dependent claim 38 limits R^3 to the formulas $-C(O)NR^{11}R^{12}$ and $-C(O)R^{11}$. The new claim is supported in the specification, for example, at page 10, line 8 and at page 11, lines 19 and 22, which disclose these formulas as preferred at the R^3 position; and by Examples 1 to 6, at pages 74 to 84, which disclose thousands of specific compounds within the scope of the new claim.

New claim 39 is similar to original claims 16, except the new claim excludes hydrogen, alkyl, substituted alkyl, cyano and acyl at the R^5 position. The new claim is supported in the specification, for example, at page 7, lines 8-18, which discloses the R^5 moieties recited in the new claim; and by Examples 1 to 6, at pages 74 to 84, which disclose thousands of specific compounds within the scope of the new claim.

New dependent claim 40 limits R^5 to carbocyclic and heterocyclic moieties (substituted or unsubstituted). The new claim is supported in the specification, for example, at page 7, lines 8-18, which discloses these R^5 moieties; and by Examples 1 to 6, at pages 74 to 84, which disclose thousands of specific compounds within the scope of the new claim.

Finally, new claim 41 is similar to original claim 16, except that the new claim requires W of the formula $-DWE-$ at the R^6 position to be present. The new claim is supported in the specification, for example, at page 7, lines 22-27, which makes clear that W can be absent or present; and by Examples 1 to 6,

at pages 74 to 84, which disclose thousands of specific compounds within the scope of the new claim.

Because the amendments made herein are fully supported by the specification no issue of new matter is raised.

B. Regarding the restriction requirement.

Applicants acknowledge that the restriction requirement has been made final. Applicants, however, wish to note the following points.

Applicants elected with traverse Group II for examination. At the time, this election covered single compound claims 16 to 30. However, the Office Action withdraws claims 23 to 25 and 27 to 30 from consideration. Applicants believe this withdrawal to be erroneous and, therefore, respectfully request that these claims be rejoined.

In this regard, Applicants note (as discussed below) that the elected species has been deemed by the Office Action to be free of prior art. Moreover, the Office Action indicates the search and examination was expanded to include the remaining non-elected species. Therefore, there is no reason why single compound claims 23 to 25 and 27 to 30 should not have been examined.

Second, Applicants note that claims 31 to 34, directed to methods of making single compounds, have been withdrawn. In this regard, Applicants again respectfully request that Group III, directed to a method of making a

single compound, be rejoined, examined and allowed once subject matter in elected Group II is allowed. Specifically, M.P.E.P. sec. 821.04 mandates that Group III be rejoined and allowed once Group II is allowed and group III is made dependent on Group II. Once subject matter from Group II is allowed, Applicants will make Group III dependent thereon. Accordingly, under these conditions, Applicants respectfully request rejoinder and allowance of Group III.

C. Regarding objections to the specification.

i. Pages 88 to 97.

The Office Action objects to pages 88 to 97. Specifically, the Action alleges that these pages contain chemical drawings that unclearly depict certain atoms and functional groups. The Action requests that Applicants provide copies of these pages with clear depictions.

Attached herewith are the corrected drawings, replacing pages 88 to 95. Applicants note that pages 96 and 97, which were also objected to in the Office Action, do not contain chemical structures and are sufficiently clear as originally filed. Accordingly, Applicants respectfully request that this objection to the specification be withdrawn.

ii. Use of various nomenclature terms.

The Office Action objects to the specification for its use of what it alleges are numerous terms that are erroneous and inconsistent with what is conventionally used in the art. Although "numerous" terms are alleged, only one is cited in the Action. Accordingly, Applicants will address this one term.

Specifically, the Office Action objects to use of the suffix "ene" as defined. The Action alleges that conventional nomenclature defines this as olefinic (i.e., double bonded) groups. In contrast, as cited in the Action, the specification defines the suffix "ene" as a substituent connected to two other parts of a compound, such as "methylene" ($-\text{CH}_2-$).

In response, Applicants respectfully submit that the cited terms defined by the specification are consistent with their conventional meanings. For example, " CH_3CH_2- " is known in the art as "ethyl," and " $-\text{CH}_2\text{CH}_2-$ " is known in the art as "ethylene." See Nomenclature of Organic Compounds, ACS (1974), page 10, attached hereto. This is an example of the addition of the suffix "ene" (from "ethyl" to "ethylene") to describe a group that is connected to two other parts of a compound.

In contrast, " $\text{CH}_2=\text{CH}_2$," which is known in the art as "ethene," is not a group but, rather, a compound on its own. Moreover, it is not an example of an addition of "ene" to something previously a group.

The olefinic group " $-\text{CH}=\text{CH}_2$ " is known in the art as "ethenyl" and the olefinic group " $-\text{CH}=\text{CH}-$," which is exemplified in the Action, is ethenylene. This is another example of the addition of the suffix "ene" (from "ethenyl" to "ethenylene") to describe a group that is connected to two other parts of a compound. See Nomenclature of Organic Compounds, ACS (1974), page 13, attached hereto.

Accordingly, because the chemical terms defined in the specification are consistent with their meanings as known in the art, Applicants respectfully request that this objection be withdrawn.

D. Regarding the indefiniteness rejection.

Claims 16 to 22 and 26 are rejected under 35 U.S.C. sec. 112, second paragraph, as allegedly indefinite. Applicants respectfully traverse the rejection.

The Office Action alleges that recitation of the suffix "ene" is indefinite. In response, as discussed above, and as exemplified by the attached text, the specification's definition of the recited chemical terms are consistent with their known meanings.

In addition, the Action alleges that the recited term "phenylene" may be conventionally interpreted as an unstable group due to the disruption of its aromaticity. In response, Applicants respectfully submit that the Action misunderstands this term. Specifically, "phenylene" is a six-membered aromatic carbon ring group that can be

attached to two other parts of a molecule. See Nomenclature of Organic Compounds, ACS (1974), page 24, attached hereto. Accordingly, "phenylene" is neither an unstable group nor one whose aromaticity is disrupted.

In light of the fact that the chemical terms defined in the specification are consistent with their meanings known in the art, Applicants respectfully request that this rejection be withdrawn.

E. Regarding the prior art rejections.

i. The '219 Application.

The Office Action rejects claims 16 to 22 and 26 under 35 U.S.C. sec. 102(b) as allegedly anticipated by WO Ser. No. 97/10219 ("the '219 application"). Applicants respectfully traverse the rejection.

Applicants first note that "A-R⁴" of the '219 application corresponds to R⁴ of the subject invention. In even its broadest teaching, "A-R⁴" must either be (a) the formula -C(O)N(R⁹)-R⁴; or (b) the formula -N(R¹⁰)C(O)-R⁴, where "R⁴" of the '219 application is a heterocyclic group or aryl (substituted or unsubstituted). See page 2, lines 26-35 of the '219 application. In contrast, claims 16, 17 and 22 have been amended to exclude all amino, substituted amino and all carboxamide moieties at the R⁴ position of the subject invention, including the formulas -C(O)NR¹¹R¹² and -NR¹¹R¹². Thus, even the most generic description of the '219 application does not teach or suggest claims 16 to 30, as amended herein.

Furthermore, new claim 35, which depends on amended claim 16, is even further distinguished from the teachings of the '219 application, as the new claim limits R^4 of the subject invention to moieties that are not remotely similar to the formula $-C(O)N(R^9)-$ or the formula $-N(R^{10})C(O)-$ of the cited reference.

In addition, Applicants respectfully submit that many of the subject single compound claims, as originally filed, clearly are not anticipated (or even obviated) by the '219 application. For example, claims 18 and 26 to 30 each require that R^4 of the subject invention be hydrogen. In contrast, as discussed above, even the broadest teaching of the '219 application requires that the corresponding position, "A- R^4 ," begin with the formula $-C(O)N(R^9)-$ or the formula $-N(R^{10})C(O)-$.

In addition, the new claims added herein are also novel and unobvious even in view of the most generic teaching of the '219 application.

Specifically, new claim 36 requires that R^3 of the subject invention not be hydrogen or halo. In contrast, even the broadest teaching of the '219 application requires the corresponding position (" R^3 " of the '219 application) to be either hydrogen or halo. See page 2, line 25 of the '219 application.

Moreover, new claim 37 further requires that R^3 of the subject invention not be alkyl (as well as not be hydrogen or halo). And new claim 38 even further limits R^3 of the subject invention to the formula $-C(O)NR^{11}R^{12}$ or the formula $-C(O)R^{11}$. In contrast, as discussed above, even the broadest teaching of the '219 application requires the corresponding position to be

hydrogen or halo. Thus, new claims 37 and 38 are even further distinguishable from the broadest teaching of the '219 application.

In addition, even the broadest teaching of the '219 application requires that R^2 of the '219 application (which corresponds to R^5 of the subject invention) be hydrogen, lower alkyl, hydroxy(lower)alkyl, halo(lower)alkyl, lower alkoxy, loweralkythio, acyl or cyano. See page 2, lines 19-21 of the '219 application. In contrast, new claim 39 excludes these groups at the R^5 position of the subject invention. Moreover, new claim 40 limits R^5 of the subject invention to carbocyclic or heterocyclic groups (substituted or unsubstituted). Thus, new claims 40 is even further distinguishable from the broadest teaching of the '219 application.

Finally, new claim 41 requires that "W" of the formula -D-W-E- at the R^6 position of the subject invention be present. As recited in new claim 41, "W" is limited to a variety of carbocyclic and heterocyclic moieties (substituted or unsubstituted). Thus, the entire group at this position in new claim 41, "- R^6 -C(O)-NR⁷R⁸," has both (a) a cyclic component ("W" of -D-W-E- of R^6); and (b) a carboxamide derivative component (-C(O)-NR⁷R⁸).

In contrast, the '219 application does not teach or suggest a moiety at the corresponding position (" R^1 " of the '219 application) with both a cyclic and carboxamide derivative component. For example, "Process 4" of the '219 application (at the bottom of page 4) teaches "-Q-C(O)-NR⁶R⁷" at this position. However, "Q" is a lower alkylene, and not a carbocyclic or

heterocyclic moiety. See page 5, line 21, of the '219 application, which defines "Q." Thus, none of the schemes taught in the '219 application teach or suggest $-R^6-C(O)-NR^7R^8$ of new claim 41. Similarly, none of the specific compounds taught in the '219 application have moieties at this position that teach or suggest $-R^6-C(O)-NR^7R^8$ of new claim 41.

For all of the reasons outlined above, Applicants respectively submit that single compound claims 16 to 30, as amended herein, and new claims 35 to 41, as added herein, are not anticipated, nor obviated, by the '219 application. Accordingly, Applicants respectfully request that this rejection be withdrawn.

ii. The '072 Application.

The Office Action rejects claims 16 to 22 and 26 under 35 U.S.C. sec. 102(b) as allegedly anticipated by WO Ser. No. 99/40072 ("the '072 application"). Applicants respectfully traverse the rejection.

First, 35 U.S.C. sec. 102(b) requires that the date of the cited reference be "more than one year prior to" the filing date of the subject application. Because the filing date of the subject application is September 21, 1999, and the publication date of the '072 application is August 12, 1999, i.e., just a few weeks prior, the '072 application does not qualify as prior art under 35 U.S.C. sec. 102(b).

Nor is the '072 application a proper reference under 35 U.S.C. sec. 102(a), which requires that the '072 application be published before the date of the subject invention. That is because the claimed invention was conceived and reduced to practice before the date the '072 application was published. Accordingly, Applicants respectfully request that this rejection be withdrawn.

In support of this contention, Applicants submit the attached declaration from Yazhong Pei, one of the inventors named in the subject application. The Declaration asserts that the subject invention was conceived and reduced to practice several months prior to the publication date of the '072 application. See paragraph 4 of the Declaration.

In further support of this contention, attached to the Declaration is a copy of a memorandum from Yazhong Pei and Hengyuan Lang, the other named inventor of the subject application. The memorandum is dated December 21, 1998. The memorandum states that "TRG 4500," which is the designation of the combinatorial library exemplified in the subject application, and whose reaction scheme and resulting compounds are the basis of the subject invention, was already completed by that date. See paragraph 5 of the Declaration.

Also attached to this memorandum, and enclosed herewith, is a list of the building blocks used to make the subject combinatorial library. As the Declaration documents, the combinatorial library referred to in the

attached memorandum is precisely the same as the one described in the examples of the subject application. Specifically, all of the building blocks listed in the attached memorandum and used to make the subject combinatorial library are precisely the same ones at the same positions as those described in the examples of the subject application. See paragraphs 6 to 8 of the Declaration.

Applicants also wish to point out that several of the single compound claims, as originally filed, do not remotely overlap with even the most generic teaching of the '072 application and, therefore, are neither anticipated nor obviated by this reference. For example, claims 26 to 30 each require that R⁵ of the subject invention begin with a ring carbon, ring heteroatom, or is butyl. In contrast, the '072 application does not teach or suggest a corresponding moiety that begins with any of these features.

In summary, in view of the filing date of the subject application and the publication date of the '072 application, the rejection made is inappropriate. Moreover, as supported by the attached Declaration, the date of the subject invention was prior to the publication date of the '072 application. Accordingly, Applicants respectfully request that this rejection be withdrawn.

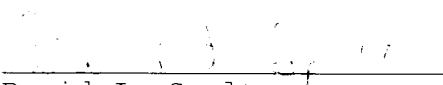
Response to Office Action
Ser. No. 09/401,004
Page 44

III. CONCLUSION

In light of the Amendments and Remarks made herein, Applicants respectfully submit that the claims are now in condition for allowance and request a notice to this effect. Should the Examiner have any questions, she is invited to call the undersigned attorney.

Respectfully submitted,

Date: January 17, 2002

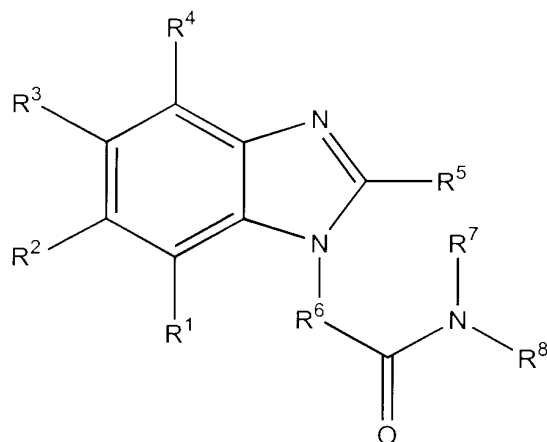


David I. Spolter
Registration No. 36,933
Telephone No. (858) 459-2934
Facsimile No. (858) 459-0698

LAW OFFICE OF DAVID SPOLTER
1590 Coast Walk
La Jolla, California 92037

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16. (Amended) A single compound of the formula:



wherein:

R¹, R², R³ [and R⁴] are, independently, selected from the group consisting of a hydrogen atom, halo, hydroxy, protected hydroxy, cyano, C₁ to C₁₂ alkyl, C₂ to C₁₂ alkenyl, C₂ to C₁₂ alkynyl, C₁ to C₁₂ substituted alkyl, C₂ to C₁₂ substituted alkenyl, C₂ to C₁₂ substituted alkynyl, C₁ to C₁₂ alkoxy, C₁ to C₁₂ substituted alkoxy, C₁ to C₁₂ acyloxy, C₁ to C₁₂ acyl, C₃ to C₇ cycloalkyl, C₃ to C₇ substituted cycloalkyl, C₅ to C₇ cycloalkenyl, C₅ to C₇ substituted cycloalkenyl, heterocyclic ring, substituted heterocyclic ring, C₇ to C₁₈ phenylalkyl, C₇ to C₁₈ substituted phenylalkyl, C₁ to C₁₂ heterocycloalkyl, C₁ to C₁₂ substituted heterocycloalkyl, phenyl, substituted phenyl, naphthyl, substituted naphthyl, cyclic C₂ to C₇ alkylene, substituted cyclic C₂ to C₇ alkylene, cyclic C₂ to C₇ heteroalkylene, substituted cyclic C₂ to C₇ heteroalkylene, carboxy, protected carboxy, hydroxymethyl, protected

hydroxymethyl, protected amino, (monosubstituted)amino, protected (monosubstituted)amino, (disubstituted)amino, C₁ to C₁₀ alkylamino, C₁ to C₁₀ substituted alkylamino, carboxamide, protected carboxamide, C₁ to C₁₀ alkylthio, C₁ to C₁₀ substituted alkylthio, C₁ to C₁₀ alkylsulfonyl, C₁ to C₁₀ substituted alkylsulfonyl, C₁ to C₁₀ alkylsulfoxide, C₁ to C₁₀ substituted alkylsulfoxide, phenylthio, substituted phenylthio, phenylsulfoxide, substituted phenylsulfoxide, phenylsulfonyl, substituted phenylsulfonyl and the group consisting of (i) the formula -C(O)NR¹¹R¹², (ii) the formula -C(O)R¹¹, (iii) the formula -NR¹¹R¹², (iv) the formula -SR¹¹, (v) the formula -OR¹¹ and (vi) the formula -C(O)OR¹¹, wherein R¹¹ and R¹² are, independently, selected from the group consisting of a hydrogen atom, C₁ to C₁₂ alkyl, C₁ to C₁₂ substituted alkyl, C₂ to C₁₂ alkenyl, C₂ to C₁₂ substituted alkenyl, phenyl, substituted phenyl, naphthyl, substituted naphthyl, C₇ to C₁₈ phenylalkyl, C₇ to C₁₈ substituted phenylalkyl, C₁ to C₁₂ heterocycloalkyl, C₁ to C₁₂ substituted heterocycloalkyl, heteroaryl, substituted heteroaryl, heterocycle, substituted heterocycle, phenylsulfonyl, substituted phenylsulfonyl, C₁ to C₁₀ alkylsulfonyl, C₁ to C₁₀ substituted alkylsulfonyl, C₁ to C₁₂ alkylaminocarbonyl, C₁ to C₁₂ substituted alkylaminocarbonyl, phenylaminocarbonyl and substituted phenylaminocarbonyl;

R⁴ is selected from the group consisting of a hydrogen atom, halo, hydroxy, protected hydroxy, cyano, C₁ to C₁₂ alkyl, C₂ to C₁₂ alkenyl, C₂ to C₁₂ alkynyl, C₁ to C₁₂ substituted alkyl, C₂ to C₁₂ substituted alkenyl, C₂ to C₁₂ substituted alkynyl, C₁ to C₁₂ alkoxy, C₁ to C₁₂ substituted alkoxy, C₁ to

C₁₂ acyloxy, C₁ to C₁₂ acyl, C₃ to C₇ cycloalkyl, C₃ to C₇ substituted cycloalkyl, C₅ to C₇ cycloalkenyl, C₅ to C₇ substituted cycloalkenyl, heterocyclic ring, substituted heterocyclic ring, C₇ to C₁₈ phenylalkyl, C₇ to C₁₈ substituted phenylalkyl, C₁ to C₁₂ heterocycloalkyl, C₁ to C₁₂ substituted heterocycloalkyl, phenyl, substituted phenyl, naphthyl, substituted naphthyl, cyclic C₂ to C₇ alkylene, substituted cyclic C₂ to C₇ alkylene, cyclic C₂ to C₇ heteroalkylene, substituted cyclic C₂ to C₇ heteroalkylene, carboxy, protected carboxy, hydroxymethyl, protected hydroxymethyl, C₁ to C₁₀ alkylamino, C₁ to C₁₀ substituted alkylamino, C₁ to C₁₀ alkylthio, C₁ to C₁₀ substituted alkylthio, C₁ to C₁₀ alkylsulfonyl, C₁ to C₁₀ substituted alkylsulfonyl, C₁ to C₁₀ alkylsulfoxide, C₁ to C₁₀ substituted alkylsulfoxide, phenylthio, substituted phenylthio, phenylsulfoxide, substituted phenylsulfoxide, phenylsulfonyl, substituted phenylsulfonyl and the group consisting of (i) the formula -C(O)R¹¹, (ii) the formula -SR¹¹, (iii) the formula -OR¹¹ and (iv) the formula -C(O)OR¹¹, wherein R¹¹ and R¹² are, independently, selected from the group consisting of a hydrogen atom, C₁ to C₁₂ alkyl, C₁ to C₁₂ substituted alkyl, C₂ to C₁₂ alkenyl, C₂ to C₁₂ substituted alkenyl, phenyl, substituted phenyl, naphthyl, substituted naphthyl, C₇ to C₁₈ phenylalkyl, C₇ to C₁₈ substituted phenylalkyl, C₁ to C₁₂ heterocycloalkyl, C₁ to C₁₂ substituted heterocycloalkyl, heteroaryl, substituted heteroaryl, heterocycle, substituted heterocycle, phenylsulfonyl, substituted phenylsulfonyl, C₁ to C₁₀ alkylsulfonyl, C₁ to C₁₀ substituted alkylsulfonyl, C₁ to C₁₂ alkylaminocarbonyl, C₁ to C₁₂ substituted

alkylaminocarbonyl, phenylaminocarbonyl and substituted
phenylaminocarbonyl;

R⁵ is selected from the group consisting of a hydrogen atom, C₁ to C₁₂ alkyl, C₁ to C₁₂ substituted alkyl, phenyl, substituted phenyl, C₇ to C₁₈ phenylalkyl, C₇ to C₁₈ substituted phenylalkyl, C₁ to C₁₂ heterocycloalkyl, C₁ to C₁₂ substituted heterocycloalkyl, carboxy, protected carboxy, cyano, protected (monosubstituted)amino, (disubstituted)amino, C₁ to C₁₂ acyl, C₁ to C₁₂ substituted acyl, C₁ to C₁₂ alkoxy carbonyl, C₁ to C₁₂ substituted alkoxy carbonyl, heterocycle, substituted heterocycle, naphthyl, substituted naphthyl, C₃ to C₇ cycloalkyl, C₃ to C₇ substituted cycloalkyl, C₅ to C₇ cycloalkenyl and C₅ to C₇ substituted cycloalkenyl;

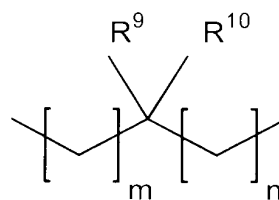
R⁶ is the formula:

-D-W-E-

wherein:

W is absent or selected from the group consisting of phenylene, substituted phenylene, C₃ to C₇ cycloalkylene, C₃ to C₇ substituted cycloalkylene, C₅ to C₇ cycloalkenylene, C₅ to C₇ substituted cycloalkenylene, arylene, substituted arylene, heterocyclene, substituted heterocyclene, heteroarylene and substituted heteroarylene;

and D, which is directly attached to the nitrogen depicted in the formula, and E, which can be absent, are independently selected from the group consisting of C₁ to C₁₂ alkylene, C₂ to C₁₂ alkenylene, C₂ to C₁₂ alkynylene, C₁ to C₁₂ substituted alkylene, C₂ to C₁₂ substituted alkenylene, C₂ to C₁₂ substituted alkynylene, C₃ to C₇ cycloalkylene, C₃ to C₇ substituted cycloalkylene, C₅ to C₇ cycloalkenylene, C₅ to C₇ substituted cycloalkenylene, C₇ to C₁₈ phenylalkylene, C₇ to C₁₈ substituted phenylalkylene, C₁ to C₁₂ heterocycloalkylene and C₁ to C₁₂ substituted heterocycloalkylene, -NH- and the formula:



wherein R⁹ and R¹⁰ are, independently, selected from the group consisting of a hydrogen atom, C₁ to C₁₂ alkyl, C₂ to C₁₂ alkenyl, C₂ to C₁₂ alkynyl, C₁ to C₁₂ substituted alkyl, C₂ to C₁₂ substituted alkenyl, C₂ to C₁₂ substituted alkynyl, C₁ to C₁₂ acyl, C₁ to C₁₂ substituted acyl, C₃ to C₇ cycloalkyl, C₃ to C₇ substituted cycloalkyl, C₅ to

C₇ cycloalkenyl, C₅ to C₇ substituted cycloalkenyl, a heterocyclic ring, substituted heterocyclic ring, heteroaryl, substituted heteroaryl, C₇ to C₁₈ phenylalkyl, C₇ to C₁₈ substituted phenylalkyl, C₁ to C₁₂ heterocycloalkyl, C₁ to C₁₂ substituted heterocycloalkyl, C₇ to C₁₈ phenylalkoxy, C₇ to C₁₈ substituted phenylalkoxy, phenyl, substituted phenyl, naphthyl, substituted naphthyl, cyclic C₂ to C₇ alkylene, substituted cyclic C₂ to C₇ alkylene, cyclic C₂ to C₇ heteroalkylene, substituted cyclic C₂ to C₇ heteroalkylene, carboxy, protected carboxy, hydroxymethyl and protected hydroxymethyl; and m and n are, independently, 0, 1, 2, 3 or 4; and

R⁷ and R⁸ are, independently, selected from the group consisting of a functionalized resin, a hydrogen atom, C₁ to C₁₂ alkyl, C₁ to C₁₂ substituted alkyl, phenyl, substituted phenyl, heterocycle, substituted heterocycle, C₃ to C₇ cycloalkyl, C₃ to C₇ substituted cycloalkyl, C₅ to C₇ cycloalkenyl, C₅ to C₇ substituted cycloalkenyl, C₂ to C₁₂ alkenyl, C₂ to C₁₂ substituted alkenyl, C₇ to C₁₈ phenylalkyl, C₇ to C₁₈ substituted phenylalkyl, C₁ to C₁₂ heterocycloalkyl and C₁ to C₁₂ substituted heterocycloalkyl, C₁ to C₁₂ acyl, C₁ to C₁₂ substituted acyl, phenylsulfonyl, substituted phenylsulfonyl, C₁ to C₁₀ alkylsulfonyl, C₁ to C₁₀ substituted alkylsulfonyl, C₁ to C₁₂ alkylaminocarbonyl, C₁ to C₁₂ substituted alkylaminocarbonyl, phenylaminocarbonyl, substituted phenylaminocarbonyl, C₁ to C₁₂ alkylaminothiocarbonyl, C₁ to C₁₂ substituted

alkylaminothiocarbonyl, phenylaminothiocarbonyl and substituted phenylaminothiocarbonyl;

provided that, where R^6 is methylene, at least one of R^1 to R^4 must be the formula $-C(O)NR^{11}R^{12}$; or

provided that, where R^6 is methylene, at least one of R^1 to R^4 must be the formula $-C(O)R^{11}$, wherein R^{11} is a heterocyclic ring or substituted heterocyclic ring, wherein said ring contains at least one nitrogen atom and wherein said nitrogen atom is attached to the carbonyl carbon; or

a pharmaceutically acceptable salt of a compound thereof.

17. (Amended) The single compound of claim 16, wherein:

R^1 , R^2 , R^3 and R^4 are, independently, selected from the group consisting of a hydrogen atom, halo, C_1 to C_{12} alkyl, C_1 to C_{12} substituted alkyl, carboxy, and the group consisting of (i) the formula $-C(O)NR^{11}R^{12}$ and (ii) the formula $-C(O)R^{11}$, wherein R^{11} and R^{12} are, independently, selected from the group consisting of a hydrogen atom, C_1 to C_{12} alkyl, C_1 to C_{12} substituted alkyl, C_2 to C_{12} alkenyl, C_2 to C_{12} substituted alkenyl, C_7 to C_{18} phenylalkyl, C_7 to C_{18} substituted phenylalkyl, C_1 to C_{12} heterocycloalkyl, C_1 to C_{12} substituted heterocycloalkyl, heteroaryl, substituted heteroaryl, heterocycle and substituted heterocycle.

22. (Amended) The single compound of claim 16, wherein:

R^1 , R^2 , R^3 [and R^4] are, independently, selected from the group consisting of a hydrogen atom, halo, C_1 to C_{12} alkyl, C_1 to C_{12} substituted alkyl, carboxy, and the group consisting of (i) the formula $-C(O)NR^{11}R^{12}$ and (ii) the formula $-C(O)R^{11}$, wherein R^{11} and R^{12} are, independently, selected from the group consisting of a hydrogen atom, C_1 to C_{12} alkyl, C_1 to C_{12} substituted alkyl, C_2 to C_{12} alkenyl, C_2 to C_{12} substituted alkenyl, C_7 to C_{18} phenylalkyl, C_7 to C_{18} substituted phenylalkyl, C_1 to C_{12} heterocycloalkyl, C_1 to C_{12} substituted heterocycloalkyl, heteroaryl, substituted heteroaryl, heterocycle and substituted heterocycle;

R^5 is selected from the group consisting of a hydrogen atom, C_1 to C_{12} alkyl, C_1 to C_{12} substituted alkyl, phenyl, substituted phenyl, C_7 to C_{18} phenylalkyl, C_7 to C_{18} substituted phenylalkyl, C_1 to C_{12} heterocycloalkyl, C_1 to C_{12} substituted heterocycloalkyl, heterocycle, substituted heterocycle, C_3 to C_7 cycloalkyl and C_3 to C_7 substituted cycloalkyl;

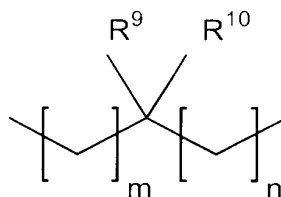
R^6 is the formula:

-D-W-E-

wherein:

W is absent or selected from the group consisting of phenylene, substituted phenylene, C_3 to C_7 cycloalkylene and C_3 to C_7 substituted cycloalkylene; and

D, which is directly attached to the nitrogen depicted in the formula, and E, which can be absent, are, independently, selected from the group consisting of C₁ to C₁₂ alkylene, C₁ to C₁₂ substituted alkylene, -NH- and the formula:



wherein:

R⁹ and R¹⁰ are, independently, selected from the group consisting of a hydrogen atom, C₁ to C₁₂ alkyl, C₁ to C₁₂ substituted alkyl, C₃ to C₇ cycloalkyl, C₃ to C₇ substituted cycloalkyl, C₇ to C₁₈ phenylalkyl, C₇ to C₁₈ substituted phenylalkyl, phenyl, substituted phenyl; and m and n are independently 0, 1 or 2; and

R⁷ and R⁸ are each a hydrogen atom.